

536,889

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
24 June 2004 (24.06.2004)

PCT

(10) International Publication Number  
**WO 2004/052921 A1**

- (51) International Patent Classification<sup>7</sup>: **C07K 5/062**, A61K 38/05, A61P 35/00
- (21) International Application Number: PCT/US2003/037979
- (22) International Filing Date: 26 November 2003 (26.11.2003)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data: 60/431,354 5 December 2002 (05.12.2002) US
- (71) Applicant (for all designated States except US): **AXYS PHARMACEUTICALS, INC.** [US/US]; 180 Kimball Way, So. San Francisco, CA 94080 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): **GRAUPE, Michael** [AT/US]; 1131 Banyan Way, Pacifica, CA 94044 (US). **LAU, Agnes, J.** [MY/US]; 595 John Muir Drive, San Francisco, CA 94132 (US). **LINK, John, O.** [US/US]; 12 Prospect Avenue, San Francisco, CA 94110 (US). **LIU, Yang** [CN/US]; 643 Catamaran Street, Foster City, CA 94404 (US). **MOSSMAN, Craig, J.** [US/US]; 282 Warwick Drive, Campbell, CA 98005 (US). **PATTERSON, John, W.** [US/US]; 1619 Montalto Drive, Mountain View, CA 94040 (US). **ZIPFEL, Shella, M.** [US/US]; 112 E. Middlefield Road, Unit B, Mountain View, CA 94043 (US).
- (74) Agents: **BANSAL, Rekha et al.**; Celera, an Applera Business Corporation, 180 Kimball Way, So. San Francisco, CA 94080 (US).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (regional): ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).
- Declaration under Rule 4.17:**  
— of inventorship (Rule 4.17(iv)) for US only
- Published:**  
— with international search report  
— before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: CYANOMETHYL DERIVATIVES AS CYSTEINE PROTEASE INHIBITORS

(57) Abstract: The present invention is directed to cyanomethyl derivatives that are inhibitors of cysteine protease, in particular, cathepsins B, K, L, F, and S and are therefore useful in treating diseases mediated by these proteases. The present invention is directed to pharmaceutical compositions comprising these compounds and processes for preparing them.

WO 2004/052921 A1